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C:\Program Files\Stnexp\Queries\10723961.str
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```
chain nodes :
   10 11 19
ring nodes :
   1 2 3 4 5 6 7 8 9 12 13 14 15 16 17
chain bonds :
   7-11 8-10 9-19 11-13
ring bonds :
   1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 12-13 12-17 13-14 14-15 15-16 16-17
exact/norm bonds :
   5-9 7-11 8-9 8-10 9-19 11-13
exact bonds :
   4-7 7-8
normalized bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17
isolated ring systems : .
   containing 1 : 12 :
Match level :
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS
```

12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 19:Atom

=>

Uploading C:\Program Files\Stnexp\Queries\10723961.str

chain nodes :

10 11 19

ring nodes :

1 2 3 4 5 6 7 8 9 12 13 14 15 16 17

chain bonds :

7-11 8-10 9-19 11-13

ring bonds :

 $1-2 \quad 1-6 \quad 2-3 \cdot \quad 3-4 \quad 4-5 \quad 4-7 \quad 5-6 \quad 5-9 \quad 7-8 \quad 8-9 \quad 12-13 \quad 12-17 \quad 13-14 \quad 14-15 \quad 15-16$ 

16-17

exact/norm bonds :

5-9 7-11 8-9 8-10 9-19 11-13

exact bonds :

4-7 7-8

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17

isolated ring systems :

containing 1 : 12 :

Match level:

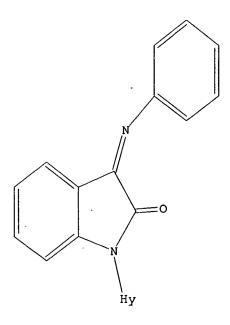
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 19:Atom

L1STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam

SAMPLE SEARCH INITIATED 18:56:27 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 211 TO ITERATE

100.0% PROCESSED 211 ITERATIONS 5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 3349 TO 5091

5 TO 234 PROJECTED ANSWERS:

5 SEA SSS SAM L1

=> => s l1 sss ful FULL SEARCH INITIATED 18:56:53 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 4507 TO ITERATE

100.0% PROCESSED 4507 ITERATIONS 40 ANSWERS

SEARCH TIME: 00.00.01

40 SEA SSS FUL L1

=> => s 13

10 L3

=> d 14 1-10 bib, ab, hitstr

```
ANSWER 1 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ΑN
     2004:473360 CAPLUS
DN
     141:33813
TI
     Pyrimidine and indolone derivative GAL3 galanin receptor antagonists for
     the treatment of affective disorders
IN
     Konkel, Michael J.; Blackburn, Thomas P.; Wetzel, John M.
PA
     USA
SO
     U.S. Pat. Appl. Publ., 147 pp.
     CODEN: USXXCO
DT
     Patent
LА
     English
FAN.CNT 1
     PATENT NO.
                         KIND
                                 DATE
                                             APPLICATION NO.
                                                                    DATE
PΙ
     US 2004110821
                          A1
                                20040610
                                             US 2003-638242
                                                                    20030807
PRAI US 2002-401885P
                          Р
                                20020807
     MARPAT 141:33813
os
     The invention discloses pyrimidine and indolone derivs. which are
AB
     selective antagonists for the-GAL3 galanin receptor. The invention
     provides a method for treating a subject suffering from an affective *
     disorder which comprises administering to the subject an amount of a compound
     of the invention effective to treat the subject's affective disorder.
     invention also provides a method for treating an affective disorder in a
     subject which comprises administering to the subject a composition comprising a
     pharmaceutically acceptable carrier and a therapeutically effective amount
     of a GAL3 receptor antagonist. The invention further provides a process
     for making a pharmaceutical composition comprising combining a therapeutically
     effective amount of a compound of the invention and a pharmaceutically
     acceptable carrier. Compound preparation is included.
IT
     445454-93-3P 445454-95-5P 445454-96-6P
     445454-97-7P 445454-98-8P 445454-99-9P
     445455-00-5P 445455-02-7P 445455-03-8P
     445455-04-9P 445455-05-0P 445455-06-1P
     445455-24-3P 445455-25-4P 445455-29-8P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (pyrimidine and indolone derivative GAL3 galanin receptor antagonists for
        treatment of affective disorders)
RN
     445454-93-3 CAPLUS
CN
     2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-
     thienyl)-, (3Z)- (9CI) (CA INDEX NAME)
```

Double bond geometry as shown.

L4

RN 445454-95-5 CAPLUS CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-02-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-phenoxyphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-03-8 CAPLUS

CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-29-8 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

## IT 445455-58-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (pyrimidine and indolone derivative GAL3 galanin receptor antagonists for treatment of affective disorders)

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

```
L4
     ANSWER 2 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ΑN
     2004:430628 CAPLUS
DN
     141:1272
TI
     Use of indolone derivative GALR3 receptor antagonists for the treatment of
     depression and/or anxiety, and compounds useful in such methods
     Konkel, Michael; Werzel, John M.; Talisman, Jamie
IN
PA
     U.S. Pat. Appl. Publ., 58 pp., Cont.-in-part of U.S. Ser. No. 214,873,
SO
     abandoned.
     CODEN: USXXCO
DT
     Patent
LA
     English
FAN.CNT 2
     PATENT NO.
                           KIND
                                   DATE
                                                APPLICATION NO.
                                                                          DATE
                           ____
                                   _____
                                                -----
                                                                          _____
                                                                          20030416 - No ODP
     US 2004102507
                                   20040527
                                                US 2003-414660
PI.
                            A1
                                                US 2002-66175 Alm
     US 2003078271
                                                                          20020131
                            A1
                                   20030424
     WO 2004093789
                            A2
                                                WO 2004-US11698
                                                                          20040415
                                   20041104
     WO 2004093789
                            A3
                                   20050224
              AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
              CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
          RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
              BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
              ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
              SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
              TD, TG
PRAI US 2001-265586P
                             Ρ
                                   20010131
                                   20010131
20020131 - Parent Apply.
                            В2
     US 2002-66175
                            B2
                                   20020807
     US 2002-214873
     US 2003-414660
                            Α
                                   20030416
os
     MARPAT 141:1272
     The invention discloses indolone derivs. which are antagonists for the
AB
     GALR3 receptor. The invention provides a pharmaceutical composition comprising
     a therapeutically effective amount of a compound of the invention and a
     pharmaceutically acceptable carrier. The invention also provides a
     pharmaceutical composition made by combining a therapeutically effective amount
     of a compound of the invention and a pharmaceutically acceptable carrier.
     The invention further provides a process for making a pharmaceutical
     composition comprising combining a therapeutically effective amount of a
compound
     of the invention and a pharmaceutically acceptable carrier. Compound
preparation
     is included.
IT
     445453-46-3P 445454-93-3P 445455-00-5P
     693779-08-7P 693779-09-8P 693779-10-1P
     693779-11-2P 693779-12-3P 693779-14-5P
     693779-15-6P 693779-16-7P 693779-17-8P
     693779-18-9P 693779-34-9P 693779-36-1P
     693779-37-2P 693779-39-4P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
      (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (Uses)
         (indolone derivative GALR3 receptor antagonists for treatment of depression
         and anxiety)
```

RN 445453-46-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)

RN 445454-93-3 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 693779-08-7 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)- (9CI)

(CA INDEX NAME)

RN 693779-09-8 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)- (9CI)
(CA INDEX NAME)

RN 693779-10-1 CAPLUS CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)- (9CI) (CA INDEX NAME)

RN 693779-11-2 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-(9CI) (CA INDEX NAME)

RN 693779-12-3 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-(9CI) (CA INDEX NAME)

RN 693779-14-5 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-phenoxyphenyl)imino]-1-(3-thienyl)-(9CI) (CA INDEX NAME)

RN 693779-15-6 CAPLUS

CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)- (9CI) (CA INDEX NAME)

RN 693779-16-7 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)- (9CI) (CA INDEX NAME)

RN 693779-17-8 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)- (9CI) (CA INDEX NAME)

RN 693779-18-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-(9CI) (CA INDEX NAME)

RN 693779-34-9 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)- (9CI) (CA INDEX NAME)

RN 693779-36-1 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)- (9CI) (CA INDEX NAME)

RN 693779-37-2 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-(9CI) (CA INDEX NAME)

RN 693779-39-4 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)

IT 445455-58-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (indolone derivative GALR3 receptor antagonists for treatment of depression and anxiety)

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

```
L4
     ANSWER 3 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
     2004:392329 CAPLUS
AN
DN
     140:406818
TI
     Preparation of pyrimidine and indol-2-one derivatives as GAL3 receptor
     antagonists for the treatment of neuropathic pain
IN
     Blackburn, Thomas P.
PA
     USA
SO
     U.S. Pat. Appl. Publ., 140 pp.
     CODEN: USXXCO
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                             APPLICATION NO.
                                                                    DATE
                         ____
PΙ
     US 2004092570
                                                                     20030807
                          A1
                                 20040513
                                             US 2003-637299
PRAI US 2002-402035P
                          Р
                                20020807
     MARPAT 140:406818
AΒ
     The title compds. I [wherein W = H, halo, CN, alkyl, or alkoxy; X =
     (un) substituted amino, piperidino, 4-oxopiperidino, or piperazino; Y =
     (un) substituted NH2, 2-isoquinolinyl, morpholino, benz[de]isoquinolinyl,
     etc.; R1 = bicyclic ring, (nor)adamantyl, cycloalkyl, (un)substituted
     (hetero)aryl, etc.; or pharmaceutically acceptable salts thereof] and II
                                                                                  Nethod
elains
only
drawn
to
treating
     [wherein Y1-Y4 = independently H, (cyclo)alkyl, (cyclo)alkenyl, alkynyl,
     halo, NO2, N3, CN, alkoxy, acyl, carbamoyl, (hetero)aryl, etc.; A =
     (un) substituted (hetero) aryl(alkyl), oxocycloalkylalkyl, heterocyclyl,
     alkenyl, alkynyl, etc.; B = (un)substituted (hetero)aryl or tricyclic
     heteroaryl; or pharmaceutically acceptable salts thereof] were prepared as
     selective antagonists for the galanin 3 (GAL3) receptor for the <u>treatment</u>
     of neuropathic pain. Examples include general procedures for synthesis of
     the compds. I and II, as well as procedures and data for numerous
     bioassays. For instance, III was prepared and showed selectivity for the
     hGAL3 receptor compared to the hGAL1 and hGAL2 receptors with binding
     affinities of Ki = 28 nM, 442 nM, and 176 nM, resp. III also exhibited
     antagonist selectivity ratios >30 for serotonin receptors and several
     transporters vs. hGAL3. In addition, behavioral tests were performed on rats
     to assess the analgesic properties of another exemplified compound,
     1-phenyl-3-[[3-(trifluoromethyl)phenyl]imino]-1,3-dihydro-2H-indol-2-one
     (IV). The behavioral data demonstrated that i.p. administration of 30
     mg/kg of IV significantly attenuated specific pain-related behaviors in
     neuropathic rats, namely mech. allodynia, without significant
     contralateral effects.
IT
     445453-46-3P 445454-93-3P 445454-95-5P
     445454-96-6P 445454-97-7P 445454-98-8P
     445454-99-9P 445455-00-5P 445455-02-7P
     445455-03-8P 445455-04-9P 445455-05-0P
     445455-06-1P 445455-24-3P 445455-25-4P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of pyrimidine and indol-2-one derivs. as galanin GAL3
        antagonists for treatment of neuropathic pain)
RN
     445453-46-3 CAPLUS
CN
     2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-
     (trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)
```

RN 445454-93-3 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-02-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-phenoxyphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-03-8 CAPLUS

CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-29-8 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

## IT 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 antagonists for treatment of neuropathic pain)

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

- L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2004:354686 CAPLUS
- DN 140:375075
- TI Preparation of 1-(phenyl or 3-pyridyl)-3-(phenylimino)-2-indolones for the treatment of depression and/or anxiety
- IN Konkel, Michael; Wetzel, John M.; Talisman, Jamie
- PA USA
- SO U.S. Pat. Appl. Publ., 30 pp. CODEN: USXXCO
- DT Patent
- LA English
- FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	KIND	DATE	AFFIDICATION NO.	A
PI US 200408263	15 A1	20040429	us 2003-637971 (	Apr 20030807
US 200514863	35 A1	20050707	us 2005-68203 \	20050228
PRAI US 2002-4020	025P P	20020807		
US 2003-6379	971 B1	20030807		

OS MARPAT 140:375075

AΒ This invention is directed to indolone derivs. (I) [Y1-Y4 = H, straight orbranched C1-7 alkyl, mono- or polyfluoroalkyl, F, Cl, Br, iodo, NO2, cyano, OR3, OCOR3, NHCOR3, N(R3)2, CON(R3)2, CO2R3, aryl, heteroaryl or any two of Y1, Y2, Y3 and Y4 moieties present on adjacent carbon atoms can constitute a methylenedioxy group; R1 = H, straight or branched C1-7 alkyl, mono- or polyfluoroalkyl, F, Cl, Br, iodo, N3, cyano, OR3, CON(R3)2, CO2R3, C3-7 cycloalkyl, C5-7 cycloalkenyl, aryl, heteroaryl; R2 = H, straight or branched C1-7 alkyl, mono- or polyfluoroalkyl, F, Cl, Br, iodo, N3, cyano, OR3, CON(R3)2, CO2R3, aryl, heteroaryl, C1-7 cycloalkyl or cycloalkenyl or any two R2 moieties present on adjacent carbon atoms can constitute, a methylenedioxy group or a difluoromethylenedioxy group or any two R2 moieties present on adjacent carbon atoms along with the adjacent carbon atom can constitute an aryl or a heteroaryl ring; R3 = H, straight or branched C1-7 alkyl, mono- or polyfluoroalkyl, C3-7 cycloalkyl, C5-7 cycloalkenyl, aryl, heteroaryl; R4 = H, F, Cl, Me] or pharmaceutically acceptable salts thereof are prepared These compds. are selective antagonists for the GalR3 receptor. The invention provides a pharmaceutical composition comprising a therapeutically effective amount of the compound I and a pharmaceutically acceptable carrier. This invention also provides a pharmaceutical composition made by combining a therapeutically effective amount of the compound I and a pharmaceutically acceptable carrier. This invention further provides a process for making a pharmaceutical composition comprising combining a therapeutically effective amount of the compound

I and a pharmaceutically acceptable carrier. This invention also provides a method of treating a subject suffering from depression and/or anxiety which comprises administering to the subject an amount of the compound I effective to treat the subject's depression and/or anxiety. This invention also provides a method of treating depression and/or anxiety in a subject which comprises administering to the subject a composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a GalR3 receptor antagonist. Thus, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-2H-indol-2-one was coupled with (4-methoxypyridin-5-yl)boronic acid in the presence of copper(II) acetate and Et3N in CH2C12 with stirring at room temperature overnight to give, after purification by preparative

TLC, 96% 3-[(3,4-dichlorophenyl)imino]-1-(6-methoxy-3-pyridinyl)-1,3-dihydro-2H-indol-2-one (II). II in vitro showed selective binding to human GalR3 receptor with Ki of 15 nM.

IT 659726-71-3p, 3-[(3,4-Dichlorophenyl)imino]-1-(6-methoxy-3pyridinyl)-1,3-dihydro-2H-indol-2-one 659726-72-4P,
5-Chloro-3-[(3,4-dichlorophenyl)imino]-1-(6-methoxy-3-pyridinyl)-1,3dihydro-2H-indol-2-one 659726-79-1P, 3-[(3Trifluoromethylphenyl)imino]-1-(6-methoxy-3-pyridinyl)-1,3-dihydro-2Hindol-2-one 659727-02-3P 659727-04-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of (Ph or pyridyl) (phenylimino) indolones as selective antagonists for GalR3 receptor for treatment of depression and/or anxiety)

RN 659726-71-3 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 659726-72-4 CAPLUS

CN 2H-Indol-2-one, 5-chloro-3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 659726-79-1 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(6-methoxy-3-pyridinyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)

RN 659727-02-3 CAPLUS

CN 2H-Indol-2-one, 5-chloro-3-[(3,4-dichlorophenyl)imino]-1-(1,6-dihydro-6-oxo-3-pyridinyl)-1,3-dihydro- (9CI) (CA INDEX NAME)

RN 659727-04-5 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1-(1,6-dihydro-6-oxo-3-pyridinyl)-1,3-dihydro-(9CI) (CA INDEX NAME)

```
ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
L4
AN
     2004:143102 CAPLUS
DN
     140:181325
     Preparation of 3-imino-2-indolones as selective antagonists for GalR3
TI
     receptor for the treatment of depression and/or anxiety
     Konkel, Michael; Wetzel, John M.; Talisman, Jamie
IN
PA
     Synaptic Pharmaceutical Corporation, USA
SO.
     PCT Int. Appl., 86 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                          KIND
                                  DATE
                                             APPLICATION NO.
                                                                      DATE
                                 20040219
                                             WO 2003-US24867
                                                                      20030807
PI
     WO 2004014854
                           A1
         W: AE, AG, AL, AM, AT, AU, AZ,
                                          /BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, XS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
         TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2002-215374
                                 20020807
                           Α
    MARPAT 140:181325
OS
AB
     Title compds. I [Y1, Y2, Y3 and Y4 independently = H, alkyl, mono- or
     poly-fluoroalkyl, halo, NO2, CN, etc., and any two of Y1, Y2, Y3 and Y4
     present on adjacent carbons can constitute a methylenedioxy group; R1 = H,
     alkyl, mono- or poly-fluoroalkyl, halo, NO2, CN, cycloalkyl, cycloalkenyl,
     etc., and any two of Y1, Y2, Y3 and Y4 present on adjacent carbons can
     constitute a methylenedioxy or difluoromethylenedioxy group; R2 = H, F,
     Cl, or Me; Ar = (un)substituted pyridin-3-yl or hydroxyphenyl group] and
     their pharmaceutically acceptable salts are prepared and disclosed as
     selective antagonists for the GalR3 receptor. Thus, e.g., II was prepared
     by reaction of 5-chloroisatin with 3,4-dichloroaniline to form an
     intermediate iminoindole derivative which was coupled with
     2-methoxypyridine-5-boronic acid. I were evaluated for their binding
     ability to the GalR3 receptor and possessed Ki values ranging from 15-72
          The invention provides a pharmaceutical composition comprising a
     therapeutically effective amount of a compound of the invention and a
     pharmaceutically acceptable carrier. This invention also provides a
     pharmaceutical composition made by combining a therapeutically effective amount
     of a compound of the invention and a pharmaceutically acceptable carrier.
     This invention further provides a process for making a pharmaceutical
     composition comprising combining a therapeutically effective amount of a
compound
     of the invention and a pharmaceutically acceptable carrier. This
     invention also provides a method of treating a subject suffering from
     depression and/or anxiety which comprises administering to the subject an
     amount of a compound of the invention effective to treat the subject's
     depression and/or anxiety. This invention also provides a method of
     treating depression and/or anxiety in a subject which comprises
     administering to the subject a composition comprising a pharmaceutically
     acceptable carrier and a therapeutically effective amount of a GalR3
     receptor antagonist.
```

IT 659726-71-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of iminoindolones as antidepressants and anxiolytics with selectivity for GalR3 receptor)

RN 659726-71-3 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

## IT 659726-72-4P 659726-79-1P 659727-02-3P 659727-04-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of iminoindolones as antidepressants and anxiolytics with selectivity for GalR3 receptor)

RN 659726-72-4 CAPLUS

CN 2H-Indol-2-one, 5-chloro-3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 659726-79-1 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(6-methoxy-3-pyridinyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)

RN 659727-02-3 CAPLUS

CN 2H-Indol-2-one, 5-chloro-3-[(3,4-dichlorophenyl)imino]-1-(1,6-dihydro-6-oxo-3-pyridinyl)-1,3-dihydro- (9CI) (CA INDEX NAME)

RN 659727-04-5 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1-(1,6-dihydro-6-oxo-3-pyridinyl)-1,3-dihydro-(9CI) (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 6 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
L4
AN
     2004:142959 CAPLUS
     140:193081
DN
TI
     Pyrimidine and indolone derivative GAL3 receptor antagonists, and
     preparation thereof, for the treatment of affective disorders
     Konkel, Michael; Blackburn, Thomas P.; Wetzel, John M.
IN
PA
     Synaptic Pharmaceutical Corporation, USA
SO
     PCT Int. Appl., 427 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LА
FAN.CNT 1
                          KIND
     PATENT NO.
                                  DATE
                                              APPLICATION NO.
                                                                       DATE
PI
     WO 2004014376
                           A1
                                  20040219
                                              WO 2003-US25133
                                                                       20030807
         W: AE, AG, AL, AM, \AT, AU, AZ,
                                           BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, ID, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2002-215346
                                 20020807
                           Α
     MARPAT 140:193081
OS
AΒ
     The invention discloses pyrimidine and indolone derivs. which are
     selective antagonists for the GAL3 receptor. The invention provides a
     method of treating a subject suffering from an affective disorder which
     comprises administering an amount of a compound of the invention effective to
     treat the subject's affective disorder. The invention also provides a
     method of treating an affective disorder in a subject which comprises
     administering a composition comprising a pharmaceutically acceptable carrier
     and a therapeutically effective amount of a GAL3 receptor antagonist. The
     invention further provides a process for making a pharmaceutical composition
     comprising combining a therapeutically effective amount of. a compound of the
     invention and a pharmaceutically acceptable carrier. Preparation of compds. of
     the invention is described.
     445453-46-3P 445454-93-3P 445454-95-5P
IT
     445454-96-6P 445454-98-8P 445454-99-9P
     445455-00-5P 445455-02-7P 445455-03-8P
     445455-04-9P 445455-05-0P 445455-06-1P
     445455-24-3P 445455-25-4P 445455-29-8P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (pyrimidine and indolone derivative GAL3 antagonists for treatment of
        neuropathic pain)
RN
     445453-46-3 CAPLUS
     2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-
CN
     (trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)
```

RN 445454-93-3 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 445455-02-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-phenoxyphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-03-8 CAPLUS

CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA.INDEX NAME)

Double bond geometry as shown.

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-29-8 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 445454-97-7P 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN · 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L4
     ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
     2004:142904 CAPLUS
AN
DN
     140:193080
TI 
     Pyrimidine and indolone derivative GAL3 antagonists for the treatment of
     neuropathic pain
IN
     Blackburn, Thomas
     Synaptic Pharmaceutical Corporation, USA
PA
     PCT Int. Appl., 359 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                           KIND
                                   DATE
                                                APPLICATION NO.
                                                                         DATE
                           ____
     WO 2004014307
                            A2
                                   20040219
                                                WO 2003-US24869
                                                                         20030807
PΙ
                            A3
                                   20041229
     WO 2004014307
         W: AE, AG, AL, AM, AT, AU, AZ,
                                            BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
              PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
              BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2002-215267
                            Α
                                   20020807
     MARPAT 140:193080
OS
AB
     This invention discloses pyrimidine and indolone derivs. which are
     selective antagonists for the GAL3 receptor and are useful for the
     treatment of neuropathic pain and other abnormalities. The invention also
     provides a method of treating a subject suffering from an abnormality
     which comprises administering to the subject an amount of a compound of the
     invention effective to treat the subject's abnormality. The invention
     also provides a method of treating an abnormality in a subject which
     comprises administering to the subject a composition comprising a
     pharmaceutically acceptable carrier and a therapeutically effective amount
     of a GAL3 receptor antagonist. Compound preparation is described.
     445453-46-3P 445454-93-3P 445454-95-5P
     445454-96-6P 445454-98-8P 445454-99-9P
     445455-00-5P 445455-02-7P 445455-03-8P
     445455-04-9P 445455-05-0P 445455-06-1P
     445455-24-3P 445455-25-4P 445455-29-8P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
         (pyrimidine and indolone derivative GAL3 antagonists for treatment of
        neuropathic pain)
RN
     445453-46-3 CAPLUS
     2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-
CN
     (trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)
```

RN 445454-93-3 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 445455-02-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-phenoxyphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-03-8 CAPLUS

CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-29-8 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 445454-97-7P 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-58-3 CAPLUS CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

- L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2003:319458 CAPLUS
- DN 138:321291
- TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety
- IN Blackburn, Thomas P.; Konkel, Michael J.; Boteju, Lakmal W.; Talisman, Ian Jamie; Wetzel, John M.; Packiarajan, Mathivanan; Chen, Heidi; Jimenez, Hermo
- PA USA
- SO U.S. Pat. Appl. Publ., 265 pp. CODEN: USXXCO
- DT Patent
- LA English
- FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003078271	A1	20030424	US 2002-66175 Parent	20020131
	US 2004102507	A1	20040527	US 2003-414660	20030416
	US 2004127502	A1	20040701	US 2003-723961	20031126
PRAI	US 2001-265586P	P	20010131		
	US 2002-66175	B2	20020131		
	US 2002-214873	В2	20020807		

- OS MARPAT 138:321291
- AB Title compds. I [W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc]. and analogs are selective antagonists for the GAL3 receptor and are useful in treating depression and/or anxiety are prepared Various general procedures for synthesis of I and biol. data, are given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.
- IT 445453-46-3P 445454-93-3P 445454-95-5P 445454-96-6P 445454-97-7P 445454-98-8P 445454-99-9P 445455-00-5P 445455-02-7P 445455-03-8P 445455-04-9P 445455-05-0P 445455-06-1P 445455-24-3P 445455-25-4P 445455-29-8P
  - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
    - (preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)
- RN 445453-46-3 CAPLUS
- CN 2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)

RN 445454-93-3 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iòdophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-02-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-phenoxyphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-03-8 CAPLUS

CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-29-8 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

## IT 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

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ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
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DN
     137:154941
     Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3
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     receptor antagonists for the treatment of depression and/or anxiety
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     Blackburn, Thomas P.; Konkel, Michael
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     Synaptic Pharmaceutical Corporation, USA
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     CODEN: PIXXD2
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                                               APPLICATION NO.
                                                                        DATE
     PATENT NO.
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PRAI US 2001-775341
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     WO 2002-US4608
                                  20020131
os
     MARPAT 137:154941
     The title compds. [I (wherein W = H, halo, CN, etc.; X = substituted NH2,
AΒ
     (un) substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic
     ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted
     2-isoquinolinyl, morpholino, etc.) and II (Y1-Y4 = H, alkyl, fluoroalkyl,
     etc.; A = (un)substituted Ph, thienyl, pyridylmethyl, etc.; B =
     (un) substituted Ph, pyridyl, indolyl, etc.)] which are selective
     antagonists for the GAL3 receptor, and are useful in treating depression
     and/or anxiety, were prepared Various general procedures for synthesis of
     the compds. I and II and their biol. data, were given. E.g., exemplified
     compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 =
     4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668
     nM and Ki of 188 nM against GalR1 and GalR2, resp.
ΙT
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     445454-96-6P 445454-97-7P 445454-98-8P
     445454-99-9P 445455-00-5P 445455-02-7P
     445455-03-8P 445455-04-9P 445455-05-0P
     445455-06-1P 445455-24-3P 445455-25-4P
     445455-29-8P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445453-46-3 CAPLUS

CN

2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)

RN 445454-93-3 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-02-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-phenoxyphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-03-8 CAPLUS

CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

RN 445455-04-9 CAPLUS CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-29-8 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (32)- (9CI) (CA INDEX NAME)

## IT 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445455-58-3 CAPLUS

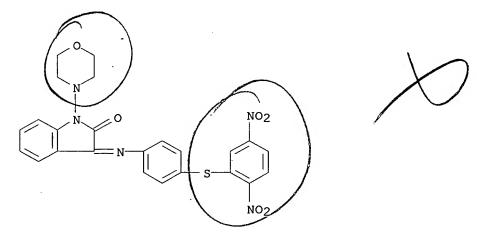
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

- L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 1990:178540 CAPLUS
- DN 112:178540
- TI Synthesis and biological activities of new indole derivatives containing sulfide and/or sulfone moieties. Part I
- AU El-Ezbawy, Samia R.; Abdel-Wahab, Aboel Magd A.
- CS Fac. Sci., Assiut Univ., Assiut, Egypt
- SO Phosphorus, Sulfur and Silicon and the Related Elements (1989), 44(3-4), 285-9
  CODEN: PSSLEC; ISSN: 1042-6507
- DT Journal
- LA English
- OS CASREACT 112:178540
- AB 2,4,5-RR1R2C6H2XC6H4NH2-4 (R,R1 = H, NO2; R2 = NO2, C1, Br, H; X = S, SO2) react with isatin, N-acetylisatin, isatin-N-Mannich bases, indole-3-carboxaldehyde and N-substituted indole-3-carboxaldehyde producing the corresponding indole derivs. I (R3 = H, MeCO) and II [R3 = H, 2,4-(O2N)2C6H3, 4-O2NC6H4CO]. A screen of these compds. for antibacterial activity showed most of the tested compds. possessed strong activity aganist a variety of bacteria.
- IT 126592-73-2P 126592-74-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antibacterial activity of)

- RN 126592-73-2 CAPLUS
- CN 2H-Indol-2-one, 3-[[4-[(2,5-dinitrophenyl)thio]phenyl]imino]-1,3-dihydro-1-(4-morpholinyl)- (9CI) (CA INDEX NAME)



- RN 126592-74-3 CAPLUS
- CN 2H-Indol-2-one, 3-[[4-[(5-chloro-2-nitrophenyl)thio]phenyl]imino]-1,3-dihydro-1-(4-morpholinyl)- (9CI) (CA INDEX NAME)

IT 126592-75-4P 126592-76-5P

RN 126592-75-4 CAPLUS

CN 2H-Indol-2-one, 3-[[4-[(5-bromo-2-nitrophenyl)thio]phenyl]imino]-1,3-dihydro-1-(4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 126592-76-5 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(4-morpholinyl)-3-[[4-[(4-nitrophenyl)thio]phenyl]imino]- (9CI) (CA INDEX NAME)

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COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.43 212.25

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

ENTRY SESSION

CA SUBSCRIBER PRICE 0.00 -7.30

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